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         APR 04
NEWS
         APR 15
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                 predefined hit display formats
         APR 28
NEWS
                 EMBASE Controlled Term thesaurus enhanced
NEWS
     5
         APR 28
                 IMSRESEARCH reloaded with enhancements
NEWS
     6 MAY 30
                 INPAFAMDB now available on STN for patent family
                 searching
                 DGENE, PCTGEN, and USGENE enhanced with new homology
NEWS 7 MAY 30
                 sequence search option
NEWS 8 JUN 06
                 EPFULL enhanced with 260,000 English abstracts
NEWS
     9
         JUN 06
                 KOREAPAT updated with 41,000 documents
NEWS 10
         JUN 13
                 USPATFULL and USPAT2 updated with 11-character
                 patent numbers for U.S. applications
         JUN 19
                 CAS REGISTRY includes selected substances from
NEWS 11
                 web-based collections
NEWS 12
         JUN 25
                 CA/CAplus and USPAT databases updated with IPC
                 reclassification data
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         JUN 30
                 AEROSPACE enhanced with more than 1 million U.S.
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                 information from the epoline Register
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         JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 20
        JUL 28 STN Viewer performance improved
NEWS 21
         AUG 01
                 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 22
         AUG 13 CA/CAplus enhanced with printed Chemical Abstracts
                 page images from 1967-1998
NEWS 23
         AUG 15
                 CAOLD to be discontinued on December 31, 2008
NEWS 24
         AUG 15
                 CAplus currency for Korean patents enhanced
NEWS 25
                 CA/CAplus, CASREACT, and IFI and USPAT databases
         AUG 25
                 enhanced for more flexible patent number searching
NEWS 26 AUG 27
                 CAS definition of basic patents expanded to ensure
                 comprehensive access to substance and sequence
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information

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SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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http://www.cas.org/support/stngen/stndoc/properties.html

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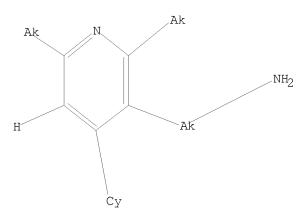
Uploading C:\Documents and Settings\brobinson1\My Documents\561.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 14:16:01 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 178600 TO ITERATE

1.1% PROCESSED 2000 ITERATIONS

0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 3547109 TO 3596891 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>

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L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 13

SAMPLE SEARCH INITIATED 14:18:26 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 13877 TO ITERATE

14.4% PROCESSED 2000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 270482 TO 284598 PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> s 13 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 14:18:30 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 280424 TO ITERATE

100.0% PROCESSED 280424 ITERATIONS

2 ANSWERS

0 ANSWERS

SEARCH TIME: 00.00.03

L5 2 SEA SSS FUL L3

=> file hcaplus COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
182.04 182.25

FULL ESTIMATED COST

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FILE COVERS 1907 - 29 Aug 2008 VOL 149 ISS 10 FILE LAST UPDATED: 28 Aug 2008 (20080828/ED)

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=> s 15

1 L5 L6

=> d 16, ibib abs hitstr, 1

ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN L6

2005:409480 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 142:463610

Preparation of pyridines as inhibitors of dipeptidyl TITLE:

peptidase IV useful for the prophylaxis or treatment

of diabetes

INVENTOR(S): Oi, Satoru; Maezaki, Hironobu; Suzuki, Nobuhiro PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 431 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | | | | | | | TE APPLICATION NO. | | | | | | | | | | | |
|--------|----------------|-------------|------|-----------------------------------------------------------|----------------------------------------|---------------------------------------------------------|------|--------------------|----------------------------|------|------|------|-----|----------|----------|------|-----|------|--|
| WO | 2005 | 0424 | 88 | | A1 20050512 | | | | | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KP, | KR, | KΖ, | LC, | | |
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| | | | | | BF, | BJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | ΝE, | | |
| 73 7 7 | 2004 | , | TD, | | 73 1 | 71 000E0E10 7H 0004 00E00B | | | | | | | | | 20041020 | | | | |
| | | | | A1 20050512 AU 2004-285807 A1 20050512 CA 2004-2543529 | | | | | | | | | | | | | | | |
| | 2543 | | | | | A 20060119 JP 2004-315517 2004102 | | | | | | | | | | | | | |
| | 1678 | 130 1703 | / / | | A. 7.1 | A1 20060712 EP 2004-793377 | | | | | | | 2 | 20041029 | | | | | |
| EF | | | | | | | ES, | | | | | | | | | | | | |
| | 11. | | | • | | | RO, | • | • | | | | • | | | | | HR | |
| CN | 1886 | | | , | , | , | | | , | | | | | , | , | , | | 1111 | |
| | | | | | | A 20061227 CN 2004-80034965 A 20070116 BR 2004-15960 | | | | | | | | | | | | | |
| MX | 2006 | PA03 | 979 | | A | | 2006 | 0705 | 705 MX 2006-PA3979 2006040 | | | | | | | 407 | | | |
| US | 2007 | 0037 | 807 | | A1 | A1 20070215 US 2006-577561 200604 | | | | | | | | 428 | | | | | |
| IN | 2006 | KN01 | | | | A 20070427 IN 2006-KN1220 | | | | | | | | | | | | | |
| | | | | | | | | | NO 2006-2516 | | | | | | | 0060 | 531 | | |
| KR | 2008 | 0670 | 13 | | Α | | 2008 | 0717 | KR 2008-715446 | | | | | | 2 | 0080 | 625 | | |
| IORIT | Y APP | LN. | INFO | .: | | | | | | | | | 76 | | A 2 | 0031 | 031 | | |
| | | | | | | | | | | JP 2 | 004- | 3049 | 1 | | A 2 | 0040 | 206 | | |
| | | | | | | | | | | | | | 77 | | A 2 | | | | |
| | | | | | | | | | | | | | 457 | | | | | | |
| | | | | | | | | | | | | | 23 | | A3 2 | 0060 | 429 | | |
| HER SO | HER SOURCE(S): | | | | CASREACT 142:463610; MARPAT 142:463610 | | | | | | | | | | | | | | |

GΙ

AB Title compds. I [wherein R1, R2 = independently (un)substituted hydrocarbyl, hydroxy; R3 = (un)substituted aryl; R4 = NH2 and derivs.; L = divalent hydrocarbon chain; Q = a bond or a divalent hydrocarbon chain; X = H, CN, NO2, acyl, OH and derivs., SH and derivs., NH2 and derivs., (un)substituted cyclyl; provided that when X = -C(:0)OEt, then Q = divalent hydrocarbon chain and that certain compds. are absent; and their salts, prodrugs] were prepared as dipeptidyl peptidase IV inhibitors. For example, Boc-protection of Me 5-(aminomethyl)-6-isobutyl-2-methyl-4-(4-methylphenyl)nicotinate (preparation given), saponification, coupling of the acid with

isobutylamine/deprotection gave II•2TFA. I show a superior dipeptidyl peptidase IV inhibitory activity, and are useful as agents for the prophylaxis or treatment of diabetes and related diseases.

IT 851582-22-4P, [[2-Isobutyl-6-methyl-4-(4-methylphenyl)pyridin-3-yl]methyl]amine dihydrochloride

II

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyridines as inhibitors of dipeptidyl peptidase IV useful for prophylaxis or treatment of diabetes)

RN 851582-22-4 HCAPLUS

CN 3-Pyridinemethanamine, 6-methyl-4-(4-methylphenyl)-2-(2-methylpropyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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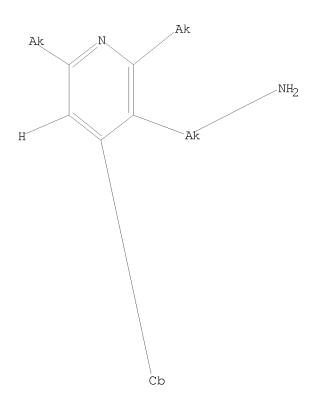
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http://www.cas.org/support/stngen/stndoc/properties.html

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L7 STRUCTURE UPLOADED

=> d 17 L7 HAS NO ANSWERS L7 STR



Structure attributes must be viewed using STN Express query preparation.

0 ANSWERS

=> s 17 SAMPLE SEARCH INITIATED 14:20:58 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 178600 TO ITERATE

1.1% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 3547109 TO 3596891
PROJECTED ANSWERS: 0 TO 0

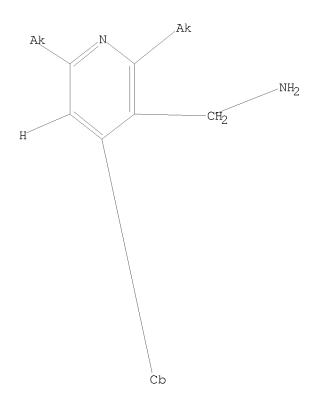
L8 0 SEA SSS SAM L7

=>

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L9 STRUCTURE UPLOADED

=> d 19 L9 HAS NO ANSWERS L9 STR



Structure attributes must be viewed using STN Express query preparation.

0 ANSWERS

=> s 19 SAMPLE SEARCH INITIATED 14:23:22 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 24485 TO ITERATE

8.2% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 480335 TO 499065 PROJECTED ANSWERS: 0 TO 0

L10 0 SEA SSS SAM L9

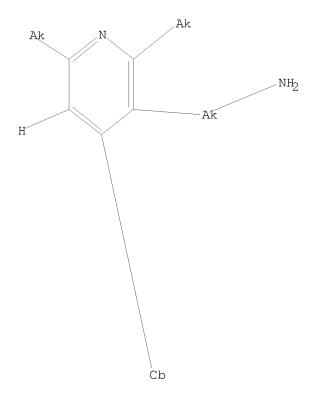
=> s 19 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 14:23:26 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 490356 TO ITERATE 100.0% PROCESSED 490356 ITERATIONS 2 ANSWERS SEARCH TIME: 00.00.05 2 SEA SSS FUL L9 L11 => d his (FILE 'HOME' ENTERED AT 14:13:23 ON 29 AUG 2008) FILE 'REGISTRY' ENTERED AT 14:13:28 ON 29 AUG 2008 STRUCTURE UPLOADED L1 L2 0 S L1 L3 STRUCTURE UPLOADED 0 S L3 L4L52 S L3 FULL FILE 'HCAPLUS' ENTERED AT 14:18:36 ON 29 AUG 2008 L6 1 S L5 FILE 'REGISTRY' ENTERED AT 14:18:51 ON 29 AUG 2008 L7 STRUCTURE UPLOADED L8 0 S L7 L9 STRUCTURE UPLOADED L10 0 S L9 2 S L9 FULL L11 => s 111 not 15 L12 0 L11 NOT L5 Uploading C:\Documents and Settings\brobinson1\My Documents\aaaf.str L13 STRUCTURE UPLOADED

=> d 113

L13 HAS NO ANSWERS

STR



Structure attributes must be viewed using STN Express query preparation.

0 ANSWERS

=> s 113 SAMPLE SEARCH INITIATED 14:25:36 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 178600 TO ITERATE

1.1% PROCESSED 2000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE** BATCH **INCOMPLETE** PROJECTED ITERATIONS: 3547109 TO 3596891 PROJECTED ANSWERS: 0 TO 0

L14 0 SEA SSS SAM L13

=>

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L15 STRUCTURE UPLOADED

=> s 115

SAMPLE SEARCH INITIATED 14:27:28 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 178600 TO ITERATE

1.1% PROCESSED 2000 ITERATIONS 0 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01 FULL FILE PROJECTIONS: ONLINE **INCOMPLETE** BATCH **INCOMPLETE** PROJECTED ITERATIONS: 3547109 TO 3596891 PROJECTED ANSWERS: 0 TO L16 0 SEA SSS SAM L15 Uploading C:\Documents and Settings\brobinson1\My Documents\aaat.str L17 STRUCTURE UPLOADED => s 117 SAMPLE SEARCH INITIATED 14:28:25 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 24485 TO ITERATE 8.2% PROCESSED 2000 ITERATIONS 0 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01 FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE** PROJECTED ITERATIONS: 480335 TO 499065 0 TO 0 PROJECTED ANSWERS: 0 SEA SSS SAM L17 L18 => s 117 full THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y FULL SEARCH INITIATED 14:28:30 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 490356 TO ITERATE 100.0% PROCESSED 490356 ITERATIONS 10 ANSWERS SEARCH TIME: 00.00.05 10 SEA SSS FUL L17 => d his (FILE 'HOME' ENTERED AT 14:13:23 ON 29 AUG 2008) FILE 'REGISTRY' ENTERED AT 14:13:28 ON 29 AUG 2008 STRUCTURE UPLOADED L10 S L1 L2STRUCTURE UPLOADED L3 0 S L3 L4

2 S L3 FULL

1 S L5

FILE 'HCAPLUS' ENTERED AT 14:18:36 ON 29 AUG 2008

L5

L6

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| L7 | STRUCTURE UPLOADED | | |
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| L9 | STRUCTURE UPLOADED | | |
| | S L9 | | |
| | S L9 FULL | | |
| | S L11 NOT L5 | | |
| L13 | STRUCTURE UPLOADED | | |
| | S L13 | | |
| L15 | STRUCTURE UPLOADED | | |
| | S L15 | | |
| L17 | STRUCTURE UPLOADED | | |
| | S L17 | | |
| L19 10 | S L17 FULL | | |
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| => s 119 not 15 | | | |
| L20 10 | L19 NOT L5 | | |
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=> s 120 L21 3 L20 => s 121 and satoru, s?/au 8 SATORU, S?/AU

L22 0 L21 AND SATORU, S?/AU

=> s 121 and maezaki, h?/au 12 MAEZAKI, H?/AU

L23 1 L21 AND MAEZAKI, H?/AU

=> d 123, ibib abs hitstr, 1

L23 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:409480 HCAPLUS

DOCUMENT NUMBER: 142:463610

TITLE: Preparation of pyridines as inhibitors of dipeptidyl

peptidase IV useful for the prophylaxis or treatment

of diabetes

INVENTOR(S): Oi, Satoru; Maezaki, Hironobu; Suzuki,

Nobuhiro

PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan

SOURCE: PCT Int. Appl., 431 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA: | PATENT NO. | | | | | D : | DATE | | APPLICATION NO. DATE | | | | | | | | | | |
|---------|----------------|------|------|-----|-----|----------------------------|----------|------|----------------------|------|----------------|------|-----|----------|------------|----------|-----|----|--|
| WO | 2005 | 0424 | 88 | | A1 | | 2005 | 0512 | WO 2004-JP16457 | | | | | | | | | | |
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| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FΙ, | GB, | GD, | | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KΕ, | KG, | KP, | KR, | KΖ, | LC, | | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | ΜZ, | NA, | NΙ, | | |
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| | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | MR, | ΝE, | | |
| | | TG | | | | | | | | | | | | | | | | | |
| AU | 2004285807 | | | | A1 | | 2005 | 0512 | AU 2004-285807 | | | | | | | | | | |
| CA | 2543529 | | | | A1 | | 2005 | 0512 | CA 2004-2543529 | | | | | 2 | 0041 | 029 | | | |
| JP | 2006 | | | | | | | | | | JP 2004-315517 | | | | | 20041029 | | | |
| EP | 1678 | 138 | | | A1 | 20060712 EP 2004-793377 20 | | | | | | 0041 | 029 | | | | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙΤ, | LI, | LU, | NL, | SE, | MC, | PT, | | |
| | | ΙE, | SI, | LT, | LV, | FΙ, | RO, | MK, | CY, | AL, | TR, | BG, | CZ, | EE, | HU, | PL, | SK, | HR | |
| | 1886 | | | | | | | 1227 | | | | | | | | | | | |
| | | | | | | | | | BR 2004-15960 | | | | | | 20041029 | | | | |
| XM | 2006 | PA03 | 979 | | Α | | 2006 | 0705 | MX 2006-PA3979 | | | | | | 20060407 | | | | |
| US | US 20070037807 | | | | | | | 0215 | US 2006-577561 | | | | | | 20060428 | | | | |
| | IN 2006KN01220 | | | | Α | | | 0427 | IN 2006-KN1220 | | | | | | 20060510 | | | | |
| | NO 2006002516 | | | | | | | | NO 2006-2516 | | | | | | | | | | |
| | 2008 | | | | А | | 2008 | 0717 | | | | | | 20080625 | | | | | |
| PRIORIT | Y APP | LN. | INFO | .: | | | | | | | | | | | A 20031031 | | | | |
| | | | | | | | | | | JP 2 | 004- | 3049 | 1 | | A 2 | 0040 | 206 | | |

JP 2004-165977 A 20040603 WO 2004-JP16457 W 20041029 KR 2006-708423 A3 20060429

OTHER SOURCE(S):
GI

CASREACT 142:463610; MARPAT 142:463610

$$\begin{array}{c|c} R^2 & N & R^1 \\ X & & & \\ R^3 & & I \end{array}$$

AB Title compds. I [wherein R1, R2 = independently (un)substituted hydrocarbyl, hydroxy; R3 = (un)substituted aryl; R4 = NH2 and derivs.; L = divalent hydrocarbon chain; Q = a bond or a divalent hydrocarbon chain; X = H, CN, NO2, acyl, OH and derivs., SH and derivs., NH2 and derivs., (un)substituted cyclyl; provided that when X = -C(:0)OEt, then Q = divalent hydrocarbon chain and that certain compds. are absent; and their salts, prodrugs] were prepared as dipeptidyl peptidase IV inhibitors. For example, Boc-protection of Me 5-(aminomethyl)-6-isobutyl-2-methyl-4-(4-methylphenyl)nicotinate (preparation given), saponification, coupling of the acid with

isobutylamine/deprotection gave IIullet2TFA. I show a superior dipeptidyl peptidase IV inhibitory activity, and are useful as agents for the prophylaxis or treatment of diabetes and related diseases.

IT 851578-91-1P 851578-95-5P, 5-(Aminomethyl)-6-butyl-2-methyl-4-(4-methylphenyl)nicotinic acid dihydrochloride 851578-98-8P, Methyl 5-(aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propylnicotinate dihydrochloride 851579-02-7P, 5-(Aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propylnicotinic acid dihydrochloride

II

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyridines as inhibitors of dipeptidyl peptidase IV useful for prophylaxis or treatment of diabetes)

10577561

RN 851578-91-1 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-6-butyl-2-methyl-4-(4-methylphenyl)-, methyl ester, hydrochloride (1:2) (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2-\text{NH}_2 \\ \text{n-Bu} \\ \text{N} \\ \text{Me} \\ \text{O} \end{array}$$

●2 HC1

RN 851578-95-5 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-6-butyl-2-methyl-4-(4-methylphenyl)-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 851578-98-8 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propyl-, methyl ester, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

10577561

RN 851579-02-7 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propyl-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

IT 851578-94-4P 851579-01-6P, Methyl 5-(aminomethyl)-2- methyl-4-(4-methylphenyl)-6-propylnicotinate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of pyridines as inhibitors of dipeptidyl peptidase IV useful for prophylaxis or treatment of diabetes)

RN 851578-94-4 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-6-butyl-2-methyl-4-(4-methylphenyl)-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2-\text{NH}_2 \\ \text{n-Bu} \\ \text{N} \\ \text{C-OMe} \end{array} \quad \text{Me}$$

RN 851579-01-6 HCAPLUS

CN 3-Pyridinecarboxylic acid, 5-(aminomethyl)-2-methyl-4-(4-methylphenyl)-6-propyl-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \text{CH}_2-\text{NH}_2 \\ \text{N} & \text{C-OMe} \\ \text{Me} & \text{O} \end{array}$$

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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                STRUCTURE UPLOADED
L4
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L5
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     FILE 'REGISTRY' ENTERED AT 14:18:51 ON 29 AUG 2008
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L24
             2 L21 NOT L23
=> s 124 and suzuki, n?/au
          8826 SUZUKI, N?/AU
L25
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\Rightarrow d 124, ibib abs hitstr, 1-2
L24 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:
                         2001:278024 HCAPLUS
DOCUMENT NUMBER:
                         134:311111
TITLE:
                         Preparation of substituted biphenyls as glucagon
                         receptor antagonists
INVENTOR(S):
                         Schoen, William R.; Ladouceur, Gaetan H.; Cook, James
                         H., II; Lease, Timothy G.; Wolanin, Donald J.; Kramss,
                         Richard H.; Hertzog, Donald L.; Osterhout, Martin H.
PATENT ASSIGNEE(S):
                         Bayer Corporation, USA; Bayer A.-G.
```

SOURCE: U.S., 156 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US 6218431 B1 20010417 US 1997-904119 19970731
PRIORITY APPLN. INFO.: US 1997-904119 19970731

OTHER SOURCE(S): MARPAT 134:311111

GΙ

$$R^3$$
 R^2
 R^{1a}
 R^{1b}
 R^{1b}

AB Substituted biphenyls I [R1a, R1b = alkyl; R2 = alkyl with substituents from 1 to 3 of SR7; R7 = Ph, or substituted Ph wherein the substituents are independently 1-5 of halogen, trifluoromethyl, alkyl, alkoxy, nitro, cyano, hydroxyl; R3 = alkyl with substituents of 1-2 hydroxyl groups; G represents a substituent selected from the group consisting of halogen, alkyl, OR4 with R4 = H, alkyl; y = 0-3], glucagon receptor antagonists. E.g., reduction of 2-cyclopentyl-6-ethyl-4-(4-fluorophenyl)-3-(3-trifluoromethylbenzyloxymethyl)pyridine-5-carboxylic acid Et ester with LiAlH4 gave 76.5% 2-cyclopentyl-6-ethyl-4-(4-fluorophenyl)-5-hydroxymethyl-3-(3-trifluoromethylbenzyloxymethyl)pyridine.

IT 202854-45-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted biphenyls as glucagon receptor antagonists)

RN 202854-45-3 HCAPLUS

CN 3-Pyridinemethanamine, 4-(4-fluorophenyl)-2,6-bis(1-methylethyl)-5-pentyl-(CA INDEX NAME)

$$i-Pr$$
 $i-Pr$
 $i-Pr$
 $(CH_2)_4-Me$

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:105938 HCAPLUS

DOCUMENT NUMBER: 128:167354
ORIGINAL REFERENCE NO.: 128:32985a

TITLE: Preparation of substituted pyridines and biphenyls as

anti-hypercholesteremic, anti-hyperlipoproteinemic and

anti-hyperglycemic agents

INVENTOR(S): Schmidt, Gunter; Angerbauer, Rolf; Brandes, Arndt;

Muller-Gliemann, Matthias; Bischoff, Hilmar; Schmidt, Delf; Wohlfeil, Stefan; Schoen, William R.; Ladouceur, Gaetan H.; Cook, James H., II; Lease, Timothy G.; Wolanin, Donald J.; Kramss, Richard H.; Hertzog,

Donald L.; Osterhout, Martin H.

PATENT ASSIGNEE(S): Bayer Corporation, USA; Bayer Aktiengesellschaft

SOURCE: PCT Int. Appl., 431 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE | | | | | | |
|----------------|-----------------|------------------------|----------------------|--|--|--|--|--|--|
| | | WO 1997-US13248 | 19970729 | | | | | | |
| WO 9804528 | | | | | | | | | |
| | | BG, BR, BY, CA, CH, CN | | | | | | | |
| | | HU, IL, IS, JP, KE, KG | | | | | | | |
| LC, LK, LR, | LS, LT, LU, LV, | MD, MG, MK, MN, MW, MX | , NO, NZ, PL, | | | | | | |
| PT, RO, RU, | SD, SE, SG, SI, | SK, SL, TJ, TM, TR, TT | , UA, UG, UZ, | | | | | | |
| VN, YU, ZW | | | | | | | | | |
| | | ZW, AT, BE, CH, DE, DK | | | | | | | |
| GB, GR, IE, | IT, LU, MC, NL, | PT, SE, BF, BJ, CF, CG | , CI, CM, GA, | | | | | | |
| | NE, SN, TD, TG | | | | | | | | |
| | A1 19980205 | | 19970729 | | | | | | |
| | | AU 1997-38971 | | | | | | | |
| | | ZA 1997-6730 | | | | | | | |
| | A1 19990811 | | | | | | | | |
| | DE, DK, ES, FR, | GB, GR, IT, LI, LU, NL | , SE, MC, PT, | | | | | | |
| IE, FI | | | | | | | | | |
| CN 1239474 | A 19991222 | CN 1997-198258 | 19970729 | | | | | | |
| | T2 20000221 | TR 1999-2325 | 19970729 | | | | | | |
| TR 9902326 | | TR 1999-2326 | 19970729 | | | | | | |
| NZ 333951 | A 20000929 | NZ 1997-333951 | | | | | | | |
| BR 9710637 | A 20001031 | BR 1997-10637 | | | | | | | |
| HU 2001000324 | A2 20010528 | HU 2001-324 | 19970729 | | | | | | |
| HU 2001000324 | A3 20010628 | | | | | | | | |
| JP 2001512416 | T 20010821 | JP 1998-509068 | | | | | | | |
| RU 2195443 | C2 20021227 | RU 1999-104527 | | | | | | | |
| TW 520360 | В 20030211 | TW 1997-86110851 | | | | | | | |
| NO 9900399 | A 19990329 | NO 1999-399 | 19990128 | | | | | | |
| NO 314143 | B1 20030203 | | | | | | | | |
| KR 2000029723 | A 20000525 | KR 1999-700826 | 19990130 | | | | | | |
| IN 1999DE01499 | A 20050701 | IN 1999-DE1499 | 1999-DE1499 19991119 | | | | | | |

PRIORITY APPLN. INFO.: US 1996-690111 A 19960731 IN 1997-DE2099 A3 19970729

WO 1997-US13248 W 19970729

OTHER SOURCE(S): MARPAT 128:167354

GΙ

$$R^3$$
 R^2
 R^3
 R^2
 R^3
 R^2
 R^3
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 R^3
 R^3

AΒ The title compds. [I (A = (un) substituted C6-10 aryl; D = up to 8 carbon atoms alkyl which is substituted by hydroxy; E, L = (un)substituted up to 8 carbon atoms alkyl; L = (un) substituted C6-10 aryl; T = R7X, R8C(R9)(R10); R7, R8 = cycloalkyl, aryl, etc.; R9, R10 = H, halo, N3, etc.), II (R1 = cycloalkyl, aryl, etc.; E, D = alkyl (up to 8 carbon atoms); E = a bond; V = O, S, NH, etc.), III (R1a, R1b = CF3, C1-10 alkyl, C1-10 alkenyl, etc.; R2 = C1-10 alkyl, C1-10 alkenyl, etc.; R3 = OH, CF3, C1-6 alkanoyl, etc.; Ar = (un)substituted heteroaryl, aryl), IV], useful for the inhibition of cholesterol ester transfer proteins (CETP) (I), for the treatment of hyperlipoproteinemia (II), and for inhibition of the glucagon receptor, leading to treatment of glucagon-mediated conditions such as diabetes (III-IV), were prepared Thus, reduction of Et 2,6-diisopropyl-4-(4-fluorophenyl)-3-[(4-fluorophenyl)chloromethyl]pyridine-5-carboxylate (preparation described) with LiAlH4 in THF afforded 69% I [A = 4-FC6H4; D = CH2OH; E = L = iPr; T = 4-FC6H4CH2]. For example, compound I [A = 4-FC6H4; D = CH2OH; E = L = iPr; T =4-FC6H4CH(NH2)] showed IC50 of 0.6 μM against CETP.

IT 202854-45-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyridines and biphenyls as antihypercholesteremic, anti-hyperlipoproteinemic and anti-hyperglycemic agents)

RN 202854-45-3 HCAPLUS

CN 3-Pyridinemethanamine, 4-(4-fluorophenyl)-2,6-bis(1-methylethyl)-5-pentyl-(CA INDEX NAME)

$$i-Pr$$
 $i-Pr$
 $i-Pr$
 $(CH_2)_4-Me$

=> file caold COST IN U.S. DOLLARS SINCE FILE TOTAL. ENTRY SESSION FULL ESTIMATED COST 19.04 572.59 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE -2.40-3.20

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L5 2 S L3 FULL

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| > file reg OST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION ULL ESTIMATED COST 0.92 573.51 |
| ULL ESTIMATED COST 0.92 573.51 |
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FILE COVERS 1907 - 29 Aug 2008 VOL 149 ISS 10 FILE LAST UPDATED: 28 Aug 2008 (20080828/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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2 L27

7169164 USES/RL

L28 2 L27/USES

(L27 (L) USES/RL)

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L28 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:278024 HCAPLUS

DOCUMENT NUMBER: 134:311111

TITLE: Preparation of substituted biphenyls as glucagon

receptor antagonists

Schoen, William R.; Ladouceur, Gaetan H.; Cook, James INVENTOR(S):

H., II; Lease, Timothy G.; Wolanin, Donald J.; Kramss, Richard H.; Hertzog, Donald L.; Osterhout, Martin H.

PATENT ASSIGNEE(S): Bayer Corporation, USA; Bayer A.-G.

SOURCE: U.S., 156 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. ____ _____ US 1997-904119 19970731 US 1997-904119 19970731 US 6218431 В1 20010417 PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
MARPAT 134:311111

GT

10577561

$$R^3$$
 R^2
 R^{1a}
 R^{1b}

AB Substituted biphenyls I [R1a, R1b = alkyl; R2 = alkyl with substituents from 1 to 3 of SR7; R7 = Ph, or substituted Ph wherein the substituents are independently 1-5 of halogen, trifluoromethyl, alkyl, alkoxy, nitro, cyano, hydroxyl; R3 = alkyl with substituents of 1-2 hydroxyl groups; G represents a substituent selected from the group consisting of halogen, alkyl, OR4 with R4 = H, alkyl; y = 0-3], glucagon receptor antagonists. E.g., reduction of 2-cyclopentyl-6-ethyl-4-(4-fluorophenyl)-3-(3-trifluoromethylbenzyloxymethyl)pyridine-5-carboxylic acid Et ester with LiAlH4 gave 76.5% 2-cyclopentyl-6-ethyl-4-(4-fluorophenyl)-5-hydroxymethyl-3-(3-trifluoromethylbenzyloxymethyl)pyridine.

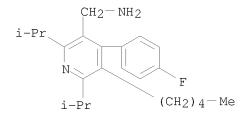
IT 202854-45-3P

RN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted biphenyls as glucagon receptor antagonists) 202854-45-3 HCAPLUS

CN 3-Pyridinemethanamine, 4-(4-fluorophenyl)-2,6-bis(1-methylethyl)-5-pentyl-(CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:105938 HCAPLUS

DOCUMENT NUMBER: 128:167354
ORIGINAL REFERENCE NO.: 128:32985a

TITLE: Preparation of substituted pyridines and biphenyls as

anti-hypercholesteremic, anti-hyperlipoproteinemic and

anti-hyperglycemic agents

INVENTOR(S): Schmidt, Gunter; Angerbauer, Rolf; Brandes, Arndt;

Muller-Gliemann, Matthias; Bischoff, Hilmar; Schmidt, Delf; Wohlfeil, Stefan; Schoen, William R.; Ladouceur,

Gaetan H.; Cook, James H., II; Lease, Timothy G.;

Wolanin, Donald J.; Kramss, Richard H.; Hertzog,

Donald L.; Osterhout, Martin H.

PATENT ASSIGNEE(S): Bayer Corporation, USA; Bayer Aktiengesellschaft

SOURCE: PCT Int. Appl., 431 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA' | PATENT NO. | | | | | | DATE | | | APPLICATION NO. | | | | | | DATE | | | |
|-----------|------------|----------------------------|------|-----|-------------------------|------------------------------------------------------------------------------------------------------------------|------------|---------|-------|-----------------|----|-------|-------------|------|-----|-------|-------|------|--|
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The title compds. [I (A = (un) substituted C6-10 aryl; D = up to 8 carbon AΒ atoms alkyl which is substituted by hydroxy; E, L = (un)substituted up to 8 carbon atoms alkyl; L = (un) substituted C6-10 aryl; T = R7X, R8C(R9)(R10); R7, R8 = cycloalkyl, aryl, etc.; R9, R10 = H, halo, N3, etc.), II (R1 = cycloalkyl, aryl, etc.; E, D = alkyl (up to 8 carbon atoms); E = a bond; V = O, S, NH, etc.), III (R1a, R1b = CF3, C1-10 alkyl, C1-10 alkenyl, etc.; R2 = C1-10 alkyl, C1-10 alkenyl, etc.; R3 = OH, CF3, C1-6 alkanoyl, etc.; Ar = (un)substituted heteroaryl, aryl), IV], useful for the inhibition of cholesterol ester transfer proteins (CETP) (I), for the treatment of hyperlipoproteinemia (II), and for inhibition of the glucagon receptor, leading to treatment of glucagon-mediated conditions such as diabetes (III-IV), were prepared Thus, reduction of Et 2,6-diisopropyl-4-(4-fluorophenyl)-3-[(4-fluorophenyl)chloromethyl]pyridine-5-carboxylate (preparation described) with LiAlH4 in THF afforded 69% I [A = 4-FC6H4; D = CH2OH; E = L = iPr; T = 4-FC6H4CH2]. For example, compound I [A = 4-FC6H4; D = CH2OH; E = L = iPr; T =4-FC6H4CH(NH2)] showed IC50 of 0.6 μ M against CETP. ΙT

202854-45-3P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted pyridines and biphenyls as antihypercholesteremic, anti-hyperlipoproteinemic and anti-hyperglycemic agents)

RN 202854-45-3 HCAPLUS

CN 3-Pyridinemethanamine, 4-(4-fluorophenyl)-2,6-bis(1-methylethyl)-5-pentyl-(CA INDEX NAME)

$$\begin{array}{c} \text{CH}_2-\text{NH}_2\\ \text{i-Pr} \\ \\ \text{i-Pr} \end{array} \text{(CH}_2)_4-\text{Me} \\ \end{array}$$

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